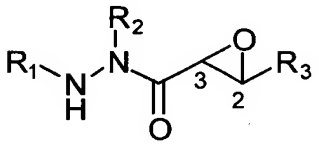
What is claimed is:

1. A compound of the formula:



wherein,

R_1 is selected from the group consisting of M_1, M_2-AA_1, M_2-AA_2-AA_1, and M_2-AA_3-AA_2-AA_1;

M_1 is selected from the group consisting of NH_2-CO-, NH_2-CS-, NH_2-SO_2-, X-NH-CO-, X_2N-CO-, X-NH-CS-, X_2N-CS-, X-NH-SO_2-, X_2N-SO_2-, X-CO-, X-CS-, Y-SO_2-, Y-O-CO-, Y-O-CS-, phenyl substituted with K, phenyl disubstituted with K, and morpholine-CO-;

M_2 is selected from the group consisting of H, NH_2-CO-, NH_2-CS-, NH_2-SO_2-, X-NH-CO-, X_2N-CO-, X-NH-CS-, X_2N-CS-, X-NH-SO_2-, X_2N-SO_2-, X-CO-, X-CS-, Y-SO_2-, Y-O-CO-, Y-O-CS-, phenyl, phenyl substituted with K, phenyl disubstituted with K, and morpholine-CO-;

X is selected from the group consisting of H, C_{1-10} alkyl, C_{3-15} cyclized alkyl, C_{1-10} fluoroalkyl, C_{1-10} alkyl substituted with J, C_{1-10} fluoroalkyl substituted with J, 1-admantyl, 9-fluorenyl, phenyl, phenyl substituted with K, phenyl disubstituted with K, phenyl trisubstituted with K, naphthyl, naphthyl substituted with K, naphthyl disubstituted with K, naphthyl trisubstituted with K, C_{1-10} fluoroalkyl with an attached phenyl group, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with two

attached phenyl groups, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with two attached phenyl groups substituted with K, C_{1-10} alkyl with an attached naphthyl group, C_{1-10} alkyl with an attached naphthyl group substituted with K, C_{1-10} alkyl with an attached phenoxy group, biotinyl, and C_{1-10} alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C_{1-10} alkyl, C_{3-15} cyclized alkyl, C_{1-10} fluoroalkyl, C_{1-10} alkyl substituted with J, C_{1-10} fluoroalkyl substituted with J, 1-admantyl, 9-fluorenyl, phenyl, phenyl substituted with K, phenyl disubstituted with K, phenyl trisubstituted with K, naphthyl, naphthyl substituted with K, naphthyl disubstituted with K, naphthyl trisubstituted with K, C_{1-10} fluoroalkyl with an attached phenyl group, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with two attached phenyl groups, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with two attached phenyl groups substituted with K, C_{1-10} alkyl with an attached naphthyl group, C_{1-10} alkyl with an attached naphthyl group substituted with K, C_{1-10} alkyl with an attached phenoxy group, biotinyl, and C_{1-10} alkyl with an attached phenoxy group substituted with K on the phenoxy group;

J is selected from the group consisting of halogen, CO_2H, OH, CN, NO_2, NH_2, C_{1-10} alkoxy, C_{1-10} alkylamino, C_{2-12} dialkylamino, C_{1-10} alkyl-O-CO-, C_{1-10} alkyl-O-CO-NH-, and C_{1-10} alkyl-S-;

K is selected from the group consisting of halogen, C_{1-10} alkyl, C_{1-10} perfluoroalkyl, C_{1-10} alkoxy, phenoxy, NO_2, CN, OH, CO_2H, amino, C_{1-10}

alkylamino, C_{2-12} dialkylamino, C_{1-10} acyl, and C_{1-10} alkoxy-CO-, and C_{1-10} alkyl-S-;

AA_1, AA_2, and AA_3 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, beta-alanine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, citrulline, hydroxyproline, ornithine, homoarginine, sarcosine, indoline 2-carboxylic acid, 2-azetidinecarboxylic acid, pipecolinic acid (2-piperidine carboxylic acid), O-methylserine, O-ethylserine, S-methylcysteine, S-ethylcysteine, S-benzylcysteine, NH_2-CH(CH_2CHEt_2)-CO_2H, alpha-aminoheptanoic acid, NH_2-CH(CH_2-1-naphthyl)-CO_2H, NH_2-CH(CH_2-2-naphthyl)-CO_2H, NH_2-CH(CH_2-cyclohexyl)-CO_2H, NH_2-CH(CH_2-cyclopentyl)-CO_2H, NH_2-CH(CH_2-cyclobutyl)-CO_2H, NH_2-CH(CH_2-cyclopropyl)-CO_2H, trifluoroleucine, 4-fluorophenylalanine, lysine substituted on the epsilon nitrogen with a biotinyl group, and hexafluoroleucine;

R_2 is selected from the group consisting of C_{1-10} alkyl, C_{1-10} alkyl substituted with Q, C_{1-10} alkyl substituted with phenyl, C_{1-10} alkyl with an attached phenyl substituted with K, C_{1-10} alkyl substituted with naphthyl, C_{1-10} alkyl with an attached naphthyl substituted with K, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C_{1-10} alkyl substituted with $CONH_2$, C_{1-10} alkyl substituted with $CONHR_4$, C_{1-10} alkyl substituted with CO_2H, C_{1-10} alkyl substituted with CO_2R_4,

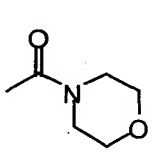
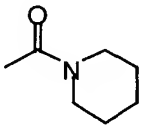
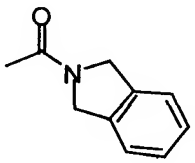
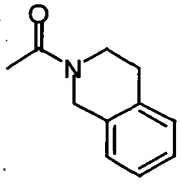
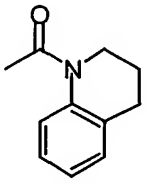
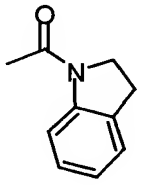
$CH_2CH_2SCH_3$, CH_2-3-indolyl, CH_2-2-thienyl, CH_2-2-furyl, CH_2-3-furyl, CH_2-2-imidazyl, C_{1-10} alkyl substituted with G, C_{1-10} alkyl with an attached phenyl substituted with G, C_{1-10} alkyl with an attached naphthyl substituted with G, phenyl substituted with G, and naphthyl substituted with G;

R_4 is selected from the group consisting of C_{1-10} alkyl and C_{1-10} alkyl substituted with phenyl;

Q is selected independently from the group consisting of C_{1-10} alkoxy, C_{1-10} alkyl-S-, C_{1-10} alkoxy substituted with phenyl, and C_{1-10} alkyl-S- substituted with phenyl;

G is selected independently from the group consisting of amidino (-$C(=NH)NH_2$), guanidino (-$NHC(=NH)NH_2$), isothiureido (-S-$C(=NH)NH_2$), amino, C_{1-6} alkylamino, C_{2-12} dialkylamino, and imidazyl;

R_3 is selected independently from the group consisting of R_5, CO_2H, CO_2R_5, $CONHR_6$, $CONR_6R_7$, CO-AA_4-T,






, and 
;

R_5 is selected independently from the group consisting of C_{1-10} alkyl, C_{3-15} cyclized alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{3-15}

cyclized alkyl with an attached phenyl group, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached phenyl group disubstituted with K, C_{1-10} alkyl with an attached phenyl group trisubstituted with K, C_{3-15} cyclized alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with a naphthyl group attached to the C_{1-10} alkyl, C_{3-15} cyclized alkyl with an attached naphthyl group, C_{1-10} alkyl with an attached naphthyl group substituted with K, C_{1-10} alkyl with an attached naphthyl group disubstituted with K, C_{1-10} alkyl with an attached naphthyl group trisubstituted with K, and C_{3-15} cyclized alkyl with an attached naphthyl group substituted with K;

T is selected independently from the group consisting of OH, OR_8, NHR_9, and NR_8R_9;

AA_4 is a side chain blocked or unblocked amino acid with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, beta-alanine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, citrulline, hydroxyproline, ornithine, homoarginine, sarcosine, indoline 2-carboxylic acid, 2-azetidinecarboxylic acid, pipecolinic acid (2-piperidine carboxylic acid), O-methylserine, O-ethylserine, S-methylcysteine, S-ethylcysteine, S-benzylcysteine, NH_2-$CH(CH_2CHEt_2)$-CO_2H, alpha-aminoheptanoic acid, NH_2-$CH(CH_2$-1-naphthyl)-CO_2H, NH_2-$CH(CH_2$-2-naphthyl)-CO_2H, NH_2-$CH(CH_2$-cyclohexyl)-CO_2H, NH_2-$CH(CH_2$-cyclopentyl)-CO_2H, NH_2-$CH(CH_2$-cyclobutyl)-CO_2H, NH_2-$CH(CH_2$-

cyclopropyl)-CO_2H, trifluoroleucine, 4-fluorophenylalanine, lysine substituted on the epsilon nitrogen with a biotinyl group,and hexafluoroleucine;

R_6 and R_7 are selected independently from the group consisting of H, C_{1-10} alkyl, C_{3-20} cyclized alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{3-20} cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached phenyl group disubstituted with K, C_{1-10} alkyl with an attached phenyl group trisubstituted with K, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and substituted with K on the phenyl group, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and disubstituted with K on the phenyl groups, C_{3-20} cyclized alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with a morpholine [-$N(CH_2CH_2)O$] ring attached through nitrogen to the alkyl, C_{1-10} alkyl with a piperidine ring attached through nitrogen to the alkyl, C_{1-10} alkyl with a pyrrolidine ring attached through nitrogen to the alkyl, C_{1-20} alkyl with an OH group attached to the alkyl, -$CH_2CH_2CH_2OCH_3$, C_{1-10} alkyl with an attached 4-pyridyl group, C_{1-10} alkyl with an attached 3-pyridyl group, C_{1-10} alkyl with an attached 2-pyridyl group, C_{1-10} alkyl with an attached cyclohexyl group, -NH-CH_2CH_2-(4-hydroxyphenyl), -NH-CH_2CH_2-(3-indolyl), C_{1-10} alkyl with an attached 2-furyl group, C_{1-10} alkyl with an attached 3-furyl group, and C_{1-5} alkyl with an attached phenyl and a hydroxyl attached to the C_{1-5} alkyl;

R_8 and R_9 are selected independently from the group consisting of H, C_{1-10} alkyl, phenyl, nitrophenyl, and C_{1-10} alkyl substituted with phenyl;

or a pharmaceutically acceptable salt, pharmaceutically derivative, hydrate or solvate thereof.

2. A compound according to claim 1 wherein:

R_1 is selected from the group consisting of M_2-AA_1, M_2-AA_2-AA_1, and M_2-AA_3-AA_2-AA_1;

M_2 is selected from the group consisting of H, X-CO-, X-NH-CO-, Y-SO_2-, and Y-O-CO-;

X is selected from the group consisting of H, C_{1-10} alkyl, C_{1-10} alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached naphthyl group, C_{1-10} alkyl with an attached naphthyl group substituted with K, C_{1-10} alkyl with an attached phenoxy group, and C_{1-10} alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C_{1-10} alkyl, C_{1-10} alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached naphthyl group, C_{1-10} alkyl with an attached naphthyl group substituted with K, C_{1-10} alkyl with an attached phenoxy group, and C_{1-10} alkyl with an attached phenoxy group substituted with K on the phenoxy group;

J is selected from the group consisting of CO_2H, OH, NH_2, C_{1-10} alkoxy, C_{1-10} alkylamino, and C_{1-10} alkyl-O-CO-;

K is selected from the group consisting of C_{1-10} alkyl, C_{1-10} perfluoroalkyl, C_{1-10} alkoxy, NO_2, CN, OH, CO_2H, amino, C_{1-10} alkylamino;

AA_1, AA_2, and AA_3 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH_2-CH(CH_2-1-naphthyl)-CO_2H, NH_2-CH(CH_2-2-naphthyl)-CO_2H, NH_2-CH(CH_2-cyclohexyl)-CO_2H, NH_2-CH(CH_2-cyclopentyl)-CO_2H, NH_2-CH(CH_2-cyclobutyl)-CO_2H, and NH_2-CH(CH_2-cyclopropyl)-CO_2H;

R_2 is selected from the group consisting of C_{1-10} alkyl substituted with $CONH_2$, C_{1-10} alkyl substituted with CO_2H, and C_{1-10} alkyl substituted with CO_2R_4;

R_4 is selected from the group consisting of C_{1-10} alkyl and C_{1-10} alkyl substituted with phenyl;

R_3 is selected independently from the group consisting of R_5, CO_2H, CO_2R_5, $CONHR_6$, $CONR_6R_7$, and CO-AA_4-T;

R_5 is selected independently from the group consisting of C_{1-10} alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with a naphthyl group attached to the C_{1-10} alkyl, and C_{1-10} alkyl with an attached naphthyl group substituted with K.

AA_4 is a side chain blocked or unblocked amino acid with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH_2-CH(CH_2-1-naphthyl)-CO_2H, NH_2-CH(CH_2-2-naphthyl)-CO_2H, NH_2-CH(CH_2-cyclohexyl)-CO_2H, NH_2-CH(CH_2-cyclopentyl)-CO_2H, NH_2-CH(CH_2-cyclobutyl)-CO_2H, and NH_2-CH(CH_2-cyclopropyl)-CO_2H;

R_6 and R_7 are selected independently from the group consisting of H, C_{1-10} alkyl, C_{3-20} cyclized alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{3-20} cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached phenyl group disubstituted with K, C_{1-10} alkyl with an attached phenyl group trisubstituted with K, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and substituted with K on the phenyl group, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and disubstituted with K on the phenyl groups, C_{3-20} cyclized alkyl with an attached phenyl group substituted with K, C_{1-20} alkyl with an OH group attached to the alkyl, -$CH_2CH_2CH_2OCH_3$, C_{1-10} alkyl with an attached 4-pyridyl group, C_{1-10} alkyl with

an attached 3-pyridyl group, C_{1-10} alkyl with an attached 2-pyridyl group, C_{1-10} alkyl with an attached cyclohexyl group, -NH-CH_2CH_2-(4-hydroxyphenyl), -NH-CH_2CH_2-(3-indolyl), C_{1-10} alkyl with an attached 2-furyl group, C_{1-10} alkyl with an attached 3-furyl group, and C_{1-5} alkyl with an attached phenyl and a hydroxyl attached to the C_{1-5} alkyl;

R_8 and R_9 are selected independently from the group consisting of H, C_{1-10} alkyl, phenyl, nitrophenyl, and C_{1-10} alkyl substituted with phenyl.

3. A compound according to claim 2 wherein

X is selected from the group consisting of H, C_{1-10} alkyl, phenyl, naphthyl, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with an attached naphthyl group, and C_{1-10} alkyl substituted with CO_2H;

AA_1, AA_2, and AA_3 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH_2-CH(CH_2-1-naphthyl)-CO_2H, and NH_2-CH(CH_2-2-naphthyl)-CO_2H;

R_5 is selected independently from the group consisting of C_{1-10} alkyl and C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl;

AA_4 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, citrulline, homoarginine, sarcosine, NH_2-CH(CH_2-1-naphthyl)-CO_2H, and NH_2-CH(CH_2-2-naphthyl)-CO_2H;

R_6 and R_7 are selected independently from the group consisting of H, C_{1-10} alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, phenyl substituted with K, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached phenyl group disubstituted with K, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and substituted with K on the phenyl group, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and disubstituted with K on the phenyl groups, C_{3-20} cyclized alkyl with an attached phenyl group substituted with K, C_{1-20} alkyl with an OH group attached to the alkyl, $-CH_2CH_2CH_2OCH_3$, and C_{1-5} alkyl with an attached phenyl and a hydroxyl attached to the C_{1-5} alkyl.

4. A compound according to claim 1 wherein

wherein,

R_1 is selected from the group consisting of M_2-AA_1, M_2-AA_2-AA_1, and M_2-AA_3-AA_2-AA_1;

M_2 is selected from the group consisting of H, X-CO-, X-NH-CO-, Y-SO_2-, and Y-O-CO-;

X is selected from the group consisting of H, C_{1-10} alkyl, C_{1-10} alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached naphthyl group, C_{1-10} alkyl with an attached naphthyl group substituted with K, C_{1-10} alkyl with an attached phenoxy group, and C_{1-10} alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C_{1-10} alkyl, C_{1-10} alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached naphthyl group, C_{1-10} alkyl with an attached naphthyl group substituted with K, C_{1-10} alkyl with an attached phenoxy group, and C_{1-10} alkyl with an attached phenoxy group substituted with K on the phenoxy group;

J is selected from the group consisting of CO_2H, OH, NH_2, C_{1-10} alkoxy, C_{1-10} alkylamino, and C_{1-10} alkyl-O-CO-;

K is selected from the group consisting of C_{1-10} alkyl, C_{1-10} perfluoroalkyl, C_{1-10} alkoxy, NO_2, CN, OH, CO_2H, amino, C_{1-10} alkylamino;

AA_1, AA_2, and AA_3 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH_2-CH(CH_2-1-naphthyl)-CO_2H, NH_2-CH(CH_2-2-naphthyl)-CO_2H, NH_2-CH(CH_2-cyclohexyl)-CO_2H, NH_2-CH(CH_2-cyclopentyl)-CO_2H, NH_2-CH(CH_2-cyclobutyl)-CO_2H, and NH_2-CH(CH_2-cyclopropyl)-CO_2H;

R_2 is selected from the group consisting of C_{1-10} alkyl, C_{1-10} alkyl substituted with Q, C_{1-10} alkyl substituted with phenyl, C_{1-10} alkyl with an attached phenyl substituted with K, C_{1-10} alkyl substituted with naphthyl, C_{1-10} alkyl with an attached naphthyl substituted with K, and phenyl;

R_3 is selected independently from the group consisting of R_5, CO_2H, CO_2R_5, $CONHR_6$, $CONR_6R_7$, and CO-AA_4-T;

R_5 is selected independently from the group consisting of C_{1-10} alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with a naphthyl group attached to the C_{1-10} alkyl, and C_{1-10} alkyl with an attached naphthyl group substituted with K.

AA_4 is a side chain blocked or unblocked amino acid with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH_2-CH(CH_2-1-naphthyl)-CO_2H, NH_2-CH(CH_2-2-naphthyl)-CO_2H, NH_2-CH(CH_2-cyclohexyl)-CO_2H, NH_2-CH(CH_2-cyclopentyl)-CO_2H, NH_2-CH(CH_2-cyclobutyl)-CO_2H, and NH_2-CH(CH_2-cyclopropyl)-CO_2H;

R_6 and R_7 are selected independently from the group consisting of H, C_{1-10} alkyl, C_{3-20} cyclized alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{3-20} cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached phenyl group disubstituted with K, C_{1-10} alkyl with an attached phenyl group trisubstituted with K, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and substituted with K on the phenyl group, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and disubstituted with K on the phenyl groups, C_{3-20} cyclized alkyl with an attached phenyl group substituted with K, C_{1-20} alkyl with an OH group attached to the alkyl, -$CH_2CH_2CH_2OCH_3$, C_{1-10} alkyl with an attached 4-pyridyl group, C_{1-10} alkyl with

an attached 3-pyridyl group, C_{1-10} alkyl with an attached 2-pyridyl group, C_{1-10} alkyl with an attached cyclohexyl group, -NH-CH_2CH_2-(4-hydroxyphenyl), -NH-CH_2CH_2-(3-indolyl), C_{1-10} alkyl with an attached 2-furyl group, C_{1-10} alkyl with an attached 3-furyl group, and C_{1-5} alkyl with an attached phenyl and a hydroxyl attached to the C_{1-5} alkyl;

R_8 and R_9 are selected independently from the group consisting of H, C_{1-10} alkyl, phenyl, nitrophenyl, and C_{1-10} alkyl substituted with phenyl.

5. A compound according to claim 4 wherein

X is selected from the group consisting of H, C_{1-10} alkyl, phenyl, naphthyl, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with an attached naphthyl group, and C_{1-10} alkyl substituted with CO_2H;

AA_1, AA_2, and AA_3 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH_2-$CH(CH_2$-1-naphthyl)-CO_2H, and NH_2-$CH(CH_2$-2-naphthyl)-CO_2H;

R_5 is selected independently from the group consisting of C_{1-10} alkyl and C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl;

AA_4 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH_2-$CH(CH_2$-1-naphthyl)-CO_2H, and NH_2-$CH(CH_2$-2-naphthyl)-CO_2H;

R_6 and R_7 are selected independently from the group consisting of H, C_{1-10} alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, phenyl substituted with K, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached phenyl group disubstituted with K, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and substituted with K on the phenyl group, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and disubstituted with K on the phenyl groups, C_{3-20} cyclized alkyl with an attached phenyl group substituted with K, C_{1-20} alkyl with an OH group attached to the alkyl, $-CH_2CH_2CH_2OCH_3$, and C_{1-5} alkyl with an attached phenyl and a hydroxyl attached to the C_{1-5} alkyl.

6. A compound according to claim 1 wherein

R_1 is selected from the group consisting of M_2-AA_1, M_2-AA_2-AA_1, and M_2-AA_3-AA_2-AA_1;

M_2 is selected from the group consisting of H, X-CO-, X-NH-CO-, Y-SO_2-, and Y-O-CO-;

X is selected from the group consisting of H, C_{1-10} alkyl, C_{1-10} alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached naphthyl group, C_{1-10} alkyl with an attached naphthyl group substituted with K, C_{1-10} alkyl with an attached phenoxy group, and C_{1-10} alkyl with an attached phenoxy group substituted with K on the phenoxy group;

Y is selected from the group consisting of C_{1-10} alkyl, C_{1-10} alkyl substituted with J, phenyl, phenyl substituted with K, naphthyl, naphthyl substituted with K, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached naphthyl group, C_{1-10} alkyl with an attached naphthyl group substituted with K, C_{1-10} alkyl with an attached phenoxy group, and C_{1-10} alkyl with an attached phenoxy group substituted with K on the phenoxy group;

J is selected from the group consisting of CO_2H, OH, NH_2, C_{1-10} alkoxy, C_{1-10} alkylamino, and C_{1-10} alkyl-O-CO-;

K is selected from the group consisting of C_{1-10} alkyl, C_{1-10} perfluoroalkyl, C_{1-10} alkoxy, NO_2, CN, OH, CO_2H, amino, C_{1-10} alkylamino;

AA_1, AA_2, and AA_3 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, alpha-aminoheptanoic acid, NH_2-CH(CH_2-1-naphthyl)-CO_2H, NH_2-CH(CH_2-2-naphthyl)-CO_2H, NH_2-CH(CH_2-cyclohexyl)-CO_2H, NH_2-CH(CH_2-cyclopentyl)-CO_2H, NH_2-CH(CH_2-cyclobutyl)-CO_2H, and NH_2-CH(CH_2-cyclopropyl)-CO_2H;

R_2 is selected from the group consisting of C_{1-10} alkyl substituted with G, C_{1-10} alkyl with an attached phenyl substituted with G, C_{1-10} alkyl with an attached naphthyl substituted with G, phenyl substituted with G, and naphthyl substituted with G.

G is selected independently from the group consisting of amidino (-C(=NH)NH_2), guanidino (-NHC(=NH)NH_2), isothiureido (-S-C(=NH)NH_2), amino, and C_{1-6} alkylamino;

R_3 is selected independently from the group consisting of R_5, CO_2H, CO_2R_5, $CONHR_6$, and $CONR_6R_7$;

R_5 is selected independently from the group consisting of C_{1-10} alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with an attached

phenyl group substituted with K, C_{1-10} alkyl with a naphthyl group attached to the C_{1-10} alkyl, and C_{1-10} alkyl with an attached naphthyl group substituted with K.

R_6 and R_7 are selected independently from the group consisting of H, C_{1-10} alkyl, C_{3-20} cyclized alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{3-20} cyclized alkyl with an attached phenyl group, phenyl, phenyl substituted with K, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached phenyl group disubstituted with K, C_{1-10} alkyl with an attached phenyl group trisubstituted with K, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and substituted with K on the phenyl group, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and disubstituted with K on the phenyl groups, C_{3-20} cyclized alkyl with an attached phenyl group substituted with K, C_{1-20} alkyl with an OH group attached to the alkyl, $-CH_2CH_2CH_2OCH_3$, C_{1-10} alkyl with an attached 4-pyridyl group, C_{1-10} alkyl with an attached 3-pyridyl group, C_{1-10} alkyl with an attached 2-pyridyl group, C_{1-10} alkyl with an attached cyclohexyl group, -NH-CH_2CH_2-(4-hydroxyphenyl), -NH-CH_2CH_2-(3-indolyl), C_{1-10} alkyl with an attached 2-furyl group, C_{1-10} alkyl with an attached 3-furyl group, and C_{1-5} alkyl with an attached phenyl and a hydroxyl attached to the C_{1-5} alkyl;

R_8 and R_9 are selected independently from the group consisting of H, C_{1-10} alkyl, phenyl, nitrophenyl, and C_{1-10} alkyl substituted with phenyl.

7. A compound according to claim 5 wherein

X is selected from the group consisting of H, C_{1-10} alkyl, phenyl, naphthyl, C_{1-10} alkyl with an attached phenyl group, C_{1-10} alkyl with an attached naphthyl group, and C_{1-10} alkyl substituted with CO_2H;

AA_1, AA_2, and AA_3 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH_2-CH(CH_2-1-naphthyl)-CO_2H, and NH_2-CH(CH_2-2-naphthyl)-CO_2H;

R_5 is selected independently from the group consisting of C_{1-10} alkyl and C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl;

AA_4 are side chain blocked or unblocked amino acids with the L configuration, D configuration, or no chirality at the α-carbon selected from the group consisting of alanine, valine, leucine, isoleucine, proline, methionine, methionine sulfoxide, phenylalanine, tryptophan, glycine, serine, threonine, cysteine, tyrosine, asparagine, glutamine, aspartic acid, glutamic acid, lysine, arginine, histidine, phenylglycine, norleucine, norvaline, alpha-aminobutanoic acid, epsilon-aminocaproic acid, ornithine, homoarginine, sarcosine, NH_2-CH(CH_2-1-naphthyl)-CO_2H, and NH_2-CH(CH_2-2-naphthyl)-CO_2H;

R_6 and R_7 are selected independently from the group consisting of H, C_{1-10} alkyl, C_{1-10} alkyl with a phenyl group attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, phenyl substituted with K, C_{1-10} alkyl with an attached phenyl group substituted with K, C_{1-10} alkyl with an attached phenyl group disubstituted with K, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and substituted with K on the phenyl group, C_{1-10} alkyl with two phenyl groups attached to the C_{1-10} alkyl and disubstituted with K on the phenyl groups, C_{3-20} cyclized alkyl with an attached phenyl group substituted with K, C_{1-20} alkyl with an OH group attached to the alkyl, $-CH_2CH_2CH_2OCH_3$, and C_{1-5} alkyl with an attached phenyl and a hydroxyl attached to the C_{1-5} alkyl.

8. The compound of claim 1 wherein epoxide carbons 2 and 3 have stereochemistry selected from the group consisting of *cis*; *trans*; *R,R*; *S,S*; *R,S*; and *S,R*.

9. The composition of claim 1, wherein said composition is substantially optically pure.

10. The composition of claim 1, wherien said composition is racemic.

11. The composition of claim 9, wherein said composition substantially comprises a single optical isomer.

12. A compound selected from the group consisting of:

(a) APhe-(*trans*)-EP-COOEt,

(b) Cbz-APhe-(*trans*)-EP-COOEt,

(c) Cbz-APhe-(*trans*)-EP-CH_2CH_2Ph,

(d) Cbz-ALeu-(*trans*)-EP-COOEt,

(e) Cbz-AHph-(*trans*)-EP-COOEt,

(f) Ac-AHph-(*trans*)-EP-COOEt,

(g) Boc-Nva-AHph-(*trans*)-EP-COOEt,

(h) Boc-Nle-AHph-(*trans*)-EP-COOEt,

(i) Boc-Nle-AHph-(*trans*)-EP-CH_2CH_2Ph,

(j) Boc-Nva-AHph-(*trans*)-EP-CH_2CH_2Ph,

(k) Boc-Abu-AHph-(*trans)*-EP-CH_2CH_2Ph,

(l) Boc-Ala-AHph-(*trans)*-EP-CH_2CH_2Ph,

(m) Boc-Np2-ALeu-(*trans*)-EP-COOEt,

(n) Suc-Np2-ALeu-(*trans*)-EP-COOEt,

(o) Ac-Leu-ALeu-(*trans*)-EP-COOEt,

(p) Ac-Leu-AHph-(*trans*)-EP-COOEt,

(q) Nva-AHph-(*trans*)-EP-CH_2CH_2Ph·TFA,

(r) Nle-AHph-(*trans*)-EP-COOEt·TFA,

(s) Ala-AHph-(*trans*)-EP-CH_2CH_2Ph·TFA,

(t) Cbz-Leu-ALeu-(2*S*,3*S*)-EP-COOEt,

(u) Cbz-Leu-ALeu-(2*R*,3*R*)-EP-COOEt,

(v) Cbz-Leu-ALeu-(*trans*)-EP-COOEt,

(w) Cbz-Leu-ALeu-(*cis*)-EP-COOEt,

(x) Cbz-Phe-ALeu-(*trans*)-EP-COOEt,

(y) Cbz-Phe-ALeu-(*trans*)-EP-CH_2CH_2Ph,

(z) Cbz-Phe-APhe-(*trans*)-EP-CH_2CH_2Ph,

(aa) Cbz-Leu-AAbu-(*trans*)-EP-COOEt,

(bb) Cbz-Leu-AAbu-(*trans*)-EP-COOH,

(cc) Cbz-Leu-AHph-(*cis*)-EP-COOEt,

(dd) Cbz-Leu-AHph-(2*S*,3*S*)-EP-COOEt,

(ee) Cbz-Leu-AHph-(2*R*,3*R*)-EP-COOEt,

(ff) Cbz-Leu-AHph-(2*S*,3*S*)-EP-COOH,

(gg) Cbz-Leu-Leu-ALeu-(*trans*)-EP-COOEt,

(hh) Cbz-Leu-Leu-ALeu-(2*S*,3*S*)-EP-COOEt,

(ii) Cbz-Leu-Leu-ALeu-(2*R*,3*R*)-EP-COOEt,

(jj) Cbz-Leu-Leu-ALeu-(2*S*,3*S*)-EP-COOH,

(kk) Cbz-Leu-Phe-AGln-(2*S*,3*S*)-EP-COOEt,

(ll) Cbz-Leu-Phe-AGln-(2*R*,3*R*)-EP-COOEt,

(mm) Cbz-Leu-Phe-AGln-(*trans*)-EP-COOEt,

(nn) Cbz-Ala-Ala-AAsn-(*trans*)-EP-COOEt,

(oo) Cbz-Ala-Ala-AAsn-(2*S*,3*S*)-EP-COOEt,

(pp) Cbz-Ala-Ala-AAsn-(2*R*,3*R*)-EP-COOEt,

(qq) Cbz-Ala-Ala-AAsn-(*cis*)-EP-COOEt,

(rr) Cbz-Ala-Ala-AAsn-(*trans*)-EP-$COOCH_2Ph$,

(ss) Cbz-Ala-Ala-AAsn-(*S,S*)-*EP*-$COOCH_2Ph$,

(tt) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-$COOCH_2CH_2Ph$,

(uu) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-$CONHCH_2Ph$,

(vv) Cbz-Ala-Ala-AAsn-(*S,S*)-*EP*-CONHCH$_2$CH$_2$Ph,

(ww) Cbz-Ala-Ala-AAsn-(*R,R*)-EP-CO-Ala-NH-Bzl,

(xx) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CON(*n*Bu)$_2$,

(yy) Cbz-Ala-Ala-AAsn-(*S,S*)-EP-CON(CH$_3$)CH$_2$Ph,

(zz) Cbz-Ala-Ala-AAsn-(*trans*)-EP-CH$_2$CH$_2$Ph,

(aaa) Cbz-Ala-Ala-AAsn-(*trans*)-EP-Ph-4-Cl,

(bbb) Cbz-Ala-Ala-NHN(CH$_2$COOEt)-(*trans*)-EP-COOEt,

(ccc) PhPr-Val-Ala-AAsp-(2*R*,3*R*)-EP-COOCH$_2$Ph,

(ddd) PhPr-Val-Ala-AAsp-(2*S*,3*S*)-EP-COOCH$_2$Ph,

(eee) PhPr-Val-Ala-AAsp-(*trans*)-EP-COOCH$_2$Ph,

(fff) PhPr-Val-Ala-AAsp-(*trans*)-EP-CH$_2$CH$_2$Ph,

(ggg) Cbz-Ile-Glu-Thr-AAsp-(2*S*,3*S*)-EP-COOEt,

(hhh) Cbz-Ile-Glu-Thr-AAsp-(2*R*,3*R*)-EP-COOEt,

(iii) Cbz-Leu-Glu-Thr-AAsp-(2*S*,3*S*)-EP-COOEt,

(jjj) Cbz-Leu-Glu-Thr-AAsp-(2*R*,3*R*)-EP-COOEt,

(kkk) Cbz-Asp-Glu-Val-AAsp-(2*S*,3*S*)-EP-COOEt,

(lll) Cbz-Asp-Glu-Val-AAsp-(2*R*,3*R*)-EP-COOEt,

(mmm) Cbz-Glu-Val-AAsp-(2*S*,3*S*)-EP-COOEt,

(nnn) PhPr-Val-Ala-AAsp-(2*S*,3*S*)-EP-CON(CH$_2$CH$_2$CH$_2$CH$_3$)$_2$,

(ooo) PhPr-Val-Ala-AAsp-(2*S*,3*S*)-EP-CON(CH$_2$Ph)$_2$,

(ppp) Cbz-Leu-Glu-Thr-AAsp-(2*S*,3*S*)-EP-CON(CH$_2$Ph)$_2$,

(qqq) Cbz-Ile-Glu-Thr-AAsp-(2*S*,3*S*)-EP-CON(CH$_2$Ph)$_2$,

(rrr) Cbz-Leu-Glu-Thr-AAsp-(2*S*,3*S*)-EP-CON(CH$_3$)CH$_2$Ph,

(sss) PhPr-Val-Ala-AAsp-(2*S*,3*S*)-EP-CON(CH$_3$)CH$_2$Ph,

(ttt) Cbz-Ile-Glu-Thr-AAsp-(2*S*,3*S*)-EP-CON(CH_3)CH_2Ph,

(uuu) PhPr-Leu-ALys-(2*S*,3*S*)-EP-CO_2Et,

(vvv) PhPr-Leu-AOrn-(2*S*,3*S*)-EP-CO_2Et,

(www) Cbz-Val-AAsp-(S,S)-EP-COOEt,

(xxx) Cbz-Val-AAsp-(*S,S*)-EP-COOH,

(yyy) Cbz-Val-AAsp-(*trans*)-EP-CH_2CH_2Ph,

(zzz) Cbz-Val-AAsp-(*trans*)-EP-Ph-4-Cl,

(aaaa) PhPr-Val-Ala-AAsp-(*S,S*)-EP-COOEt,

(bbbb) PhPr-Val-Ala-AAsp-(*R,R*)-EP-COOEt,

(cccc) PhPr-Val-Ala-AAsp-(*S,S*)-EP-COOCH_2CH_2Ph,

(dddd) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CONHCH_2CH_3,

(eeee) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CONHCH_2Ph,

(ffff) PhPr-Val-Ala-AAsp-(*R,R*)-EP-CONHCH_2Ph,

(gggg) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CONHCH_2CH_2Ph,

(hhhh) PhPr-Val-Ala-AAsp-(*R,R*)-EP-CONHCH_2CH_2Ph,

(iiii) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CONHCH_2CH(OH)Ph,

(jjjj) PhPr-Val-Ala-AAsp-(*R,R*)-EP-CONHCH_2CH(OH)Ph,

(kkkk) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CO-Ala-NHCH_2Ph,

(llll) PhPr-Val-Ala-AAsp-(*R,R*)-EP-CO-Ala-NHCH_2Ph,

(mmmm) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CO-Leu-NH_2,

(nnnn) PhPr-Val-Ala-AAsp-(*R,R*)-EP-CO-Leu-NH_2,

(oooo) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CO-Phe-NH_2,

(pppp) PhPr-Val-Ala-AAsp-(*R,R*)-EP-CO-Phe-NH_2,

(qqqq) PhPr-Val-Ala-AAsp-(*S,S*)-EP-CO-Tyr-NH_2,

(rrrr) Cbz-Glu-Val-AAsp-(*R*,*R*)-EP-CO-Phe-NH_2,

(ssss) Cbz-Glu-Val-AAsp-(*S*,*S*)-EP-$CONHCH_2CH_2Ph$,

(tttt) Cbz-Asp-Glu-Val-AAsp-(*S*,*S*)-EP-CO-Phe-NH_2,

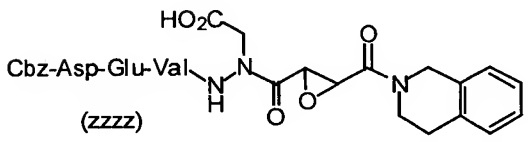
(uuuu) Cbz-Asp-Glu-Val-AAsp-(*S*,*S*)-EP-$CONHCH_2Ph$,

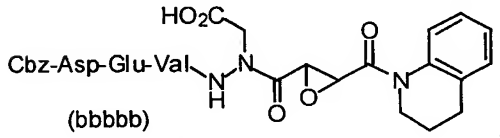
(vvvv) Cbz-Asp-Glu-Val-AAsp-(*S*,*S*)-EP-$COOCH_2Ph$,

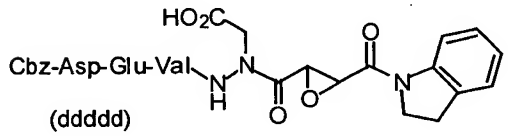
(wwww) Cbz-Leu-Glu-Thr-AAsp-(*S*,*S*)-EP-$CONHCH_2CH_2Ph$,

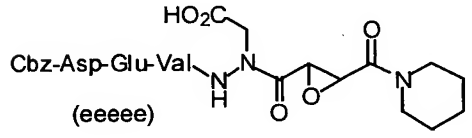
(xxxx) Cbz-Leu-Glu-Thr-AAsp-(*S*,*S*)-EP-CO-Ala-$NHCH_2Ph$,

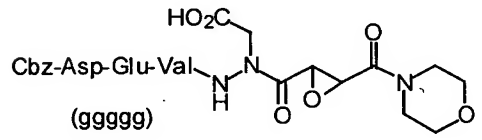
(yyyy) Cbz-Ile-Glu-Thr-AAsp-(*S*,*S*)-EP-CO-Ala-$NHCH_2Ph$,

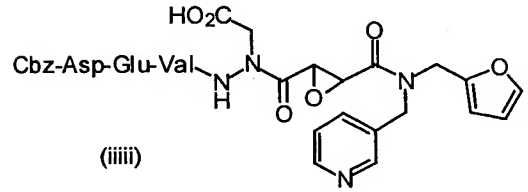


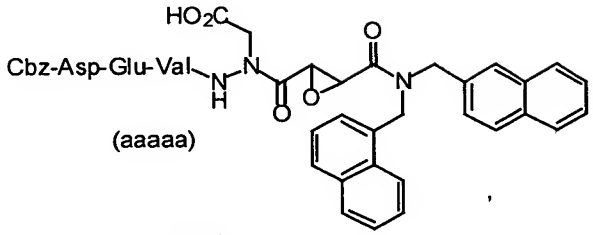


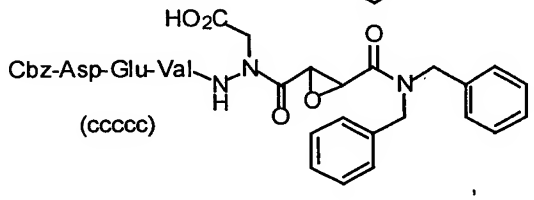


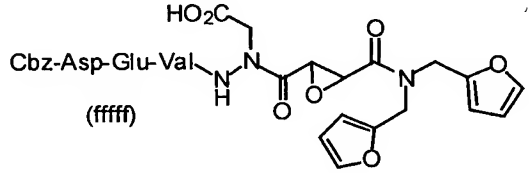


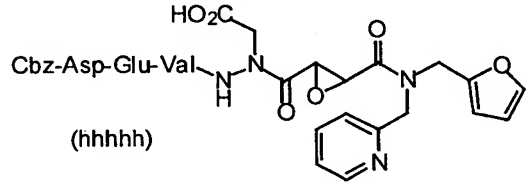


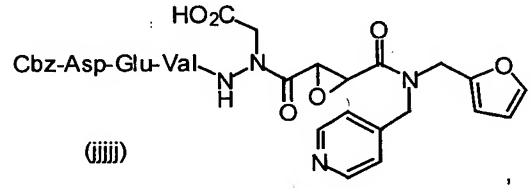












(kkkkk) Cbz-Leu-Glu-Thr-AAsp-(*S*,*S*)-EP-$COOCH_2Ph$,

(lllll) Cbz-Ile-Glu-Thr-AAsp-(*S*,*S*)-EP-$COOCH_2Ph$,

(mmmmm) Cbz-Ile-Glu-Thr-AAsp-(*R*,*R*)-EP-$COOCH_2Ph$,

(nnnnn) Cbz-Ile-Glu-Thr-AAsp-(*R*,*R*)-EP-$CONHCH_2Ph$, and a pharmaceutically acceptable salt, pharmaceutically acceptable derivative, or combination thereof.

13. A compound having the chemical formula of Cbz-Asp-Glu-Val-AAsp-EP-$COOCH_2C_6H_5$.

14. A compound according having the chemical formula Cbz-Ala-Ala-AAsn-EP-COOEt.

15. A pharmaceutical composition, comprising an effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

16. A method of inhibiting a cysteine protease comprising the step of contacting said cysteine protease with a compound according to claim 1.

17. The method of claim 16 wherein said contacting occurs *in vivo*.

18. The method of claim 16 wherein said contacting occurs *in vitro*.

19. The method according to claim 16 wherein said cysteine protease comprises a caspase.

20. The method according to claim 16 wherein said cysteine protease comprises legumain.

21. The method according to claim 16 wherein said cysteine protease comprises a member of the clan CD of cysteine proteases.

22. The method according to claim 16 wherein said cysteine protease comprises a member of the clan CA of cysteine proteases.

23. A method of preparing a compound comprising of the step of coupling an epoxide with a substituted hydrazide.

24. The method of claim 23 wherein said epoxide is an epoxysuccinate.

25. The method of claim 23 wherein said epoxide is an oxirane carboxylic acid.

26. The method of claim 23 wherein said coupling comprises the step of reacting the epoxide, substituted hydrazide, EDC, and HOBt.

27. The method of claim 23 wherein said coupling comprises the step of: reacting the epoxide, substituted hydrazide, NMM, and IBCF.

28. A compound comprising an aza-amino acid and an epoxide.

29. The compound of claim 28, wherein the compound comprises P1 and P2 residues.

30. The compound of claim 28, wherein the P1 or P2 residue comprises an aza-amino acid residue.

31. The compound of claim 29, wherein the P1 residue comprises an aza-amino acid residue having a basic functional group.

32. The compound of claim 29, wherein the P2 residue comprises an amino acid residue having a hydrophobic functional group.

33. The compound of claim 29, wherein the P2 residue comprises an amino acid residue having a hydrophobic alkyl functional group.

34. The compound of claim 28, wherein said epoxide is coupled to said aza-amino acid.

35. The compound of claim 29 containing an anionic side chain at said P1 site.

36. The compound of claim 29 containing an aza-aspartic acid at the P1 site.

37. The compound of claim 29 containing an aza-asparagine at said P1 site.

38. The compound of claim 28, wherein said compound specifically inhibits cysteine proteases selected from the group consisting of clan CD and clan CA cysteine proteases.

39. The compound of claim 38, wherein said compound inhibits clan CD and clan CA cysteine proteases.

40. A neuroprotective composition comprising an aza-peptide epoxide.

41. A method of treating a neurodegenerative disorder comprising: administering an effective amount of an aza-peptide epoxide to a patient having symptoms of a neurodegenerative disorder.

42. The method of claim 41, wherein said aza-peptide epoxide inhibits a protease.

43. The method of claim 42, wherein said protease comprises a cysteine protease.

44. The method of claim 41, wherein said neurodegenerative disorder is selected from the group consisting of stroke, Alzheimer's disease, Parkinson's disease, multiple sclerosis, neuropathies, Huntington's disease, dentatorubropallidoluysian atrophy, spinocerebellar atrophy type 3, spinal bulbar muscular atrophy, and myotrophic lateral sclerosis.

45. A method of modulating a host's immune system comprising administering to said host a composition comprising an aza-peptide epoxide in an amount sufficient to inhibit cleavage of an antigen in the host and reduce antigen peptides displayed on cell surfaces.

46. The method of claim 45, wherein said host is a mammal.

47. A method for treating inflammatory disease in a host comprising: administering to said host a composition comprising an aza-peptide epoxide in an amount sufficient to inhibit a cysteine protease.

48. The compound of claim 28, wherein the compound comprises P1, P2 and P3 residues.

49. The compound of claim 28, wherein the compound comprises P1, P2, P3, and P4 residues.

50. The compound of claim 28, wherein the compound comprises P1, P2, P3, P4, and P1' residues.